

10/030468

531 Rec'd PCT/F. 08 JAN 2002

UNITED STATES PATENT AND TRADEMARK OFFICE

Examiner: Group: Attorney Docket # 1893

Applicant(s) : CHASSOT, L., ET AL

Serial No. :

Filed :

For : NOVEL P-AMINOPHENOLS AND COLORING
PREPARATIONS CONTAINING THESE COMPOUNDS

SIMULTANEOUS AMENDMENT

January 8, 2002

Honorable Commissioner of Patents and Trademarks
Washington, D.C. 20231

S I R S:

Simultaneously with filing of the above identified application
please amend the same as follows:

In the Claims:

Cancel all claims without prejudice.

Substitute the claims attached hereto.

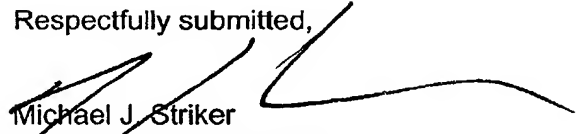
REMARKS:

This Amendment is submitted simultaneously with filing of the above identified
application.

With the present Amendment applicant has amended the claims so as to eliminate their multiple dependency.

Consideration and allowance of the present application is most respectfully requested.

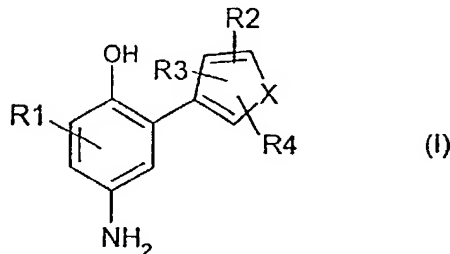
Respectfully submitted,



Michael J. Striker
Attorney for Applicant(s)
Reg. No. 27233

PATENT CLAIMS

1. p-Aminophenol derivatives of general formula (I) or physiologically tolerated, water-soluble salts thereof



wherein

X denotes oxygen, sulfur or NR₅,

R₁ denotes hydrogen, a halogen atom, a C₁-C₄-alkyl group, a C₁-C₄-hydroxyalkyl group or a C₁-C₄-alkoxy group;

R₂ and R₄ independently of each other denote hydrogen, a hydroxyl group, a halogen atom, a cyano group, a C₁-C₄-alkoxy group, a C₁-C₆-alkyl group, a C₁-C₄-alkyl thioether group, a mercapto group, a nitro group, an amino group, a C₁-C₆-alkylamino group, a (C₁-C₆)-dialkylamino group, a -C(OH) group, a -C(O)CH₃ group, a -C(O)CF₃ group, an -Si(CH₃)₃ group, a C₁-C₄-hydroxyalkyl group, a C₃-C₄-dihydroxyalkyl group, a -CH=CHR₆ group, a -(CH₂)_p-CO₂R₇ group or a -(CH₂)_p-R₈ group (with p = 1, 2, 3 or 4), a -C(R₉)=NR₁₀ group or a C(R₁₁)H-NR₁₂R₁₃ group;

R₃ denotes hydrogen, a halogen atom, a C₁-C₆-alkyl group or a -C(O)H group;

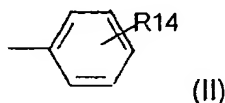
R₅ denotes hydrogen, a C₁-C₆-alkyl group, a C₁-C₄-hydroxyalkyl group, a phenyl group or an acetyl group;

R₆ denotes hydrogen, a hydroxyl group, a nitro group, an amino group, a -CO₂R₇ group or a -C(O)CH₃ group;

R₇, R₉ and R₁₁ independently of each other denote hydrogen or a C₁-C₄-alkyl group;

R₈ denotes an amino group or a nitrile group;

R₁₀, R₁₂ and R₁₃ independently of each other denote hydrogen, a hydroxyl group, a C₁-C₄-alkyl group, a C₁-C₄-hydroxyalkyl group, a C₃-C₄-dihydroxyalkyl group or a radical of formula (II)



and

R₁₄ denotes hydrogen, an amino group or a hydroxyl group.

2. p-Aminophenol derivative according to Claim 1, characterized in that it is selected from the group consisting of 4-amino-2-(3-thienyl)phenol; 4-amino-2-(3-furyl)phenol; 4-amino-2-(pyrrol-3-yl)phenol; 4-amino-2-(1-methyl-1H-pyrrol-3-yl)phenol; 4-amino-3-chloro-2-(3-thienyl)phenol; 4-amino-3-methyl-2-(3-thienyl)phenol; 4-amino-5-chloro-2-(3-thienyl)phenol; 4-amino-5-methyl-2-(3-thienyl)phenol; 4-amino-6-chloro-2-(3-thienyl)phenol; 4-amino-6-methyl-2-(3-thienyl)phenol; 4-amino-2-(2-acetyl-3-thienyl)phenol; 4-amino-2-(2-chloro-3-thienyl)phenol; 4-amino-2-(2-formyl-3-thienyl)phenol; 4-amino-2-(2-methyl-3-thienyl)phenol; 4-amino-2-(4-acetyl-3-thienyl)phenol; 4-amino-2-(4-chloro-3-thienyl)phenol; 4-amino-2-(4-formyl-3-thienyl)phenol; 4-amino-2-(4-methyl-3-thienyl)phenol; 4-amino-2-(5-acetyl-3-thienyl)phenol; 4-amino-2-(5-chloro-3-thienyl)phenol; 4-amino-2-(5-methyl-3-thienyl)phenol and the physiologically tolerated salts thereof.

3. p-Aminophenol derivative according to Claim 1 ^{or 2} characterized in that in formula (I) (i) R₁ denotes hydrogen and/or (ii) at least one of groups R₂, R₃ and R₄ denotes hydrogen or a methyl group and/or (iii) X denotes sulfur or oxygen.

4. p-Aminophenol derivative according to ^{Claim 1} one of Claims 1 to 3 characterized in that it is selected from the group consisting of 4-amino-2-(3-thienyl)phenol; 4-amino-2-(4-methyl-3-thienyl)phenol and 4-amino-2-(2-chloro-3-thienyl)phenol and physiologically tolerated salts thereof.

5. Preparation for oxidative dyeing of keratin fibers based on a developer-coupler combination, characterized in that said preparation contains as the developer at least one p-aminophenol derivative of formula (I) according to ^{one of Claims 1 to 4} Claim 1.

6. Preparation according to Claim 5, characterized in that it contains the p-aminophenol derivative of formula (I) in an amount from 0.005 to 20.0 wt. %.

7. Preparation according to Claim 5 ^{or 6} characterized in that the coupler is selected from the group consisting of 2,6-diaminopyridine, 2-amino-4-[(2-hydroxyethyl)amino]anisole, 2,4-diamino-1-fluoro-5-methylbenzene, 2,4-diamino-1-methoxy-5-methylbenzene, 2,4-diamino-1-ethoxy-5-methylbenzene, 2,4-diamino-1-(2-hydroxyethoxy)-5-methylbenzene, 2,4-di[(2-hydroxyethyl)amino]-1,5-dimethoxybenzene, 2,3-diamino-6-methoxypyridine, 3-amino-6-methoxy-2-(methylamino)pyridine, 2,6-diamino-3,5-dimethoxypyridine, 3,5-diamino-2,6-dimethoxypyridine, 1,3-diaminobenzene, 2,4-diamino-1-(2-hydroxyethoxy)benzene, 2,4-diamino-1,5-di-(2-hydroxyethoxy)benzene, 1-(2-aminoethoxy)-2,4-diaminobenzene, 2-amino-1-(2-hydroxyethoxy)-4-methylaminobenzene, 2,4-diaminophenoxyacetic acid, 3-[di-(2-hydroxyethyl)amino]aniline, 4-amino-2-di-[(2-hydroxyethyl)amino]-1-ethoxybenzene, 5-methyl-2-(1-methylethyl)phenol, 3-[(2-hydroxyethyl)amino]aniline, 3-[(2-aminoethyl)amino]aniline, 1,3-di-(2,4-diaminophenoxy)propane, di-(2,4-diaminophenoxy)methane, 1,3-diamino-2,4-dimethoxybenzene, 2,6-bis-(2-hydroxyethyl)aminotoluene, 4-hydroxyindole, 3-dimethylaminophenol, 3-diethylaminophenol, 5-amino-2-methylphenol, 5-amino-4-fluoro-2-methylphenol, 5-amino-4-methoxy-2-methylphenol, 5-amino-4-ethoxy-2-methylphenol, 3-amino-2,4-dichlorophenol, 5-amino-2,4-

dichlorophenol, 3-amino-2-methylphenol, 3-amino-2-chloro-6-methylphenol, 3-aminophenol, 2-[(3-hydroxyphenyl)amino]acetamide, 5-[(2-hydroxyethyl)amino]-2-methylphenol, 3-[(2-hydroxyethyl)amino]phenol, 3-[(2-methoxyethyl)amino]phenol, 5-amino-2-ethylphenol, 2-(4-amino-2-hydroxyphenoxy)ethanol, 5-[(3-hydroxypropyl)amino]-2-methylphenol, 3-[(2,3-dihydroxypropyl)-amino]-2-methylphenol, 3-[(2-hydroxyethyl)amino]-2-methylphenol, 2-amino-3-hydroxypyridine, 5-amino-4-chloro-2-methylphenol, 1-naphthol, 1,5-dihydroxynaphthalene, 1,7-dihydroxynaphthalene, 2,3-dihydroxynaphthalene, 2,7-dihydroxynaphthalene, 2-methyl-1-naphthol acetate, 1,3-dihydroxybenzene, 1-chloro-2,4-dihydroxybenzene, 2-chloro-1,3-dihydroxybenzene, 1,2-dichloro-3,5-dihydroxy-4-methylbenzene, 1,5-dichloro-2,4-dihydroxybenzene, 1,3-dihydroxy-2-methylbenzene, 3,4-methylenedioxyphenol, 3,4-methylenedioxyaniline, 5-[(2-hydroxyethyl)amino]-1,3-benzodioxol, 6-bromo-1-hydroxy-3,4-methylenedioxybenzene, 3,4-diaminobenzoic acid, 3,4-dihydro-6-hydroxy-1,4(2H)-benzoxazine, 6-amino-3,4-dihydro-1,4(2H)benzoxazine, 3-methyl-1-phenyl-5-pyrazolone, 5,6-dihydroxyindole, 5,6-dihydroxyindoline, 5-hydroxyindole, 6-hydroxyindole, 7-hydroxyindole and 2,3-indolinedione.

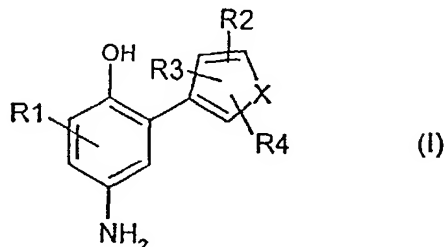
8. Preparation according to Claim 5 [one of Claims 5 to 7] characterized in that it contains the developers and couplers in a total amount of 0.005 to 20 wt.%, based on the total amount of colorant.

9. Preparation according to Claim 5 [one of Claims 5 to 8] characterized in that it contains additionally at least one direct dye.

10. Preparation according to Claim 5 [one of Claims 5 to 9] characterized in that it is a hair colorant.

PATENT CLAIMS

1. p-Aminophenol derivatives of general formula (I) or physiologically tolerated, water-soluble salts thereof



wherein

X denotes oxygen, sulfur or NR₅,

R₁ denotes hydrogen, a halogen atom, a C₁-C₄-alkyl group, a C₁-C₄-hydroxyalkyl group or a C₁-C₄-alkoxy group;

R₂ and R₄ independently of each other denote hydrogen, a hydroxyl group, a halogen atom, a cyano group, a C₁-C₄-alkoxy group, a C₁-C₈-alkyl group, a C₁-C₄-alkyl thioether group, a mercapto group, a nitro group, an amino group, a C₁-C₈-alkylamino group, a (C₁-C₈)-dialkylamino group, a -C(OH) group, a -C(O)CH₃ group, a -C(O)CF₃ group, an -Si(CH₃)₃ group, a C₁-C₄-hydroxyalkyl group, a C₃-C₄-dihydroxyalkyl group, a -CH=CHR₆ group, a -(CH₂)_p-CO₂R₇ group or a -(CH₂)_p-R₈ group (with p = 1, 2, 3 or 4), a -C(R₉)=NR₁₀ group or a C(R₁₁)H-NR₁₂R₁₃ group;

R₃ denotes hydrogen, a halogen atom, a C₁-C₈-alkyl group or a -C(O)H group;

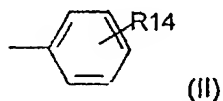
R₅ denotes hydrogen, a C₁-C₈-alkyl group, a C₁-C₄-hydroxyalkyl group, a phenyl group or an acetyl group;

R₆ denotes hydrogen, a hydroxyl group, a nitro group, an amino group, a -CO₂R₇ group or a -C(O)CH₃ group;

R₇, R₉ and R₁₁ independently of each other denote hydrogen or a C₁-C₄-alkyl group;

R₈ denotes an amino group or a nitrile group;

R₁₀, R₁₂ and R₁₃ independently of each other denote hydrogen, a hydroxyl group, a C₁-C₄-alkyl group, a C₁-C₄-hydroxyalkyl group, a C₃-C₄-dihydroxyalkyl group or a radical of formula (II)



and

R₁₄ denotes hydrogen, an amino group or a hydroxyl group.

2. p-Aminophenol derivative according to Claim 1, characterized in that it is selected from the group consisting of 4-amino-2-(3-thienyl)phenol; 4-amino-2-(3-furyl)phenol; 4-amino-2-(pyrrol-3-yl)phenol; 4-amino-2-(1-methyl-1H-pyrrol-3-yl)phenol; 4-amino-3-chloro-2-(3-thienyl)phenol; 4-amino-3-methyl-2-(3-thienyl)phenol; 4-amino-5-chloro-2-(3-thienyl)phenol; 4-amino-5-methyl-2-(3-thienyl)phenol; 4-amino-6-chloro-2-(3-thienyl)phenol; 4-amino-6-methyl-2-(3-thienyl)phenol; 4-amino-2-(2-acetyl-3-thienyl)phenol; 4-amino-2-(2-chloro-3-thienyl)phenol; 4-amino-2-(2-formyl-3-thienyl)phenol; 4-amino-2-(2-methyl-3-thienyl)phenol; 4-amino-2-(4-acetyl-3-thienyl)phenol; 4-amino-2-(4-chloro-3-thienyl)phenol; 4-amino-2-(4-formyl-3-thienyl)phenol; 4-amino-2-(4-methyl-3-thienyl)phenol; 4-amino-2-(5-acetyl-3-thienyl)phenol; 4-amino-2-(5-chloro-3-thienyl)phenol; 4-amino-2-(5-methyl-3-thienyl)phenol and the physiologically tolerated salts thereof.

3. p-Aminophenol derivative according to Claim 1, characterized in that in formula (I) (i) R1 denotes hydrogen and/or (ii) at least one of groups R2, R3 and R4 denotes hydrogen or a methyl group and/or (iii) X denotes sulfur or oxygen.

4. p-Aminophenol derivative according to Claim 1, characterized in that it is selected from the group consisting of 4-amino-2-(3-thienyl)phenol; 4-amino-2-(4-methyl-3-thienyl)phenol and 4-amino-2-(2-chloro-3-thienyl)phenol and physiologically tolerated salts thereof.

5. Preparation for oxidative dyeing of keratin fibers based on a developer-coupler combination, characterized in that said preparation contains as the developer at least one p-aminophenol derivative of formula (I) according to Claim 1

6. Preparation according to Claim 5, characterized in that it contains the p-aminophenol derivative of formula (I) in an amount from 0.005 to 20.0 wt. %.

7. Preparation according to Claim 5, characterized in that the coupler is selected from the group consisting of 2,6-diaminopyridine, 2-amino-4-[(2-hydroxyethyl)amino]anisole, 2,4-diamino-1-fluoro-5-methylbenzene, 2,4-diamino-1-methoxy-5-methylbenzene, 2,4-diamino-1-ethoxy-5-methylbenzene, 2,4-diamino-1-(2-hydroxyethoxy)-5-methylbenzene, 2,4-di[(2-hydroxyethyl)amino]-1,5-dimethoxybenzene, 2,3-diamino-6-methoxypyridine, 3-amino-6-methoxy-2-(methylamino)pyridine, 2,6-diamino-3,5-dimethoxypyridine, 3,5-diamino-2,6-dimethoxypyridine, 1,3-diaminobenzene, 2,4-diamino-1-(2-hydroxyethoxy)benzene, 2,4-diamino-1,5-di-(2-hydroxyethoxy)benzene, 1-(2-aminoethoxy)-2,4-diaminobenzene, 2-amino-1-(2-hydroxyethoxy)-4-methylaminobenzene, 2,4-diaminophenoxyacetic acid, 3-[di-(2-hydroxyethyl)amino]aniline, 4-amino-2-di[(2-hydroxyethyl)amino]-1-ethoxybenzene, 5-methyl-2-(1-methylethyl)phenol, 3-[(2-hydroxyethyl)amino]aniline, 3-[(2-aminoethyl)amino]aniline, 1,3-di-(2,4-diaminophenoxy)propane, di-(2,4-diaminophenoxy)methane, 1,3-diamino-2,4-dimethoxybenzene, 2,6-bis-(2-hydroxyethyl)aminotoluene, 4-hydroxyindole, 3-dimethylaminophenol, 3-diethylaminophenol, 5-amino-2-methylphenol, 5-amino-4-fluoro-2-methylphenol, 5-amino-4-methoxy-2-methylphenol, 5-amino-4-ethoxy-2-methylphenol, 3-amino-2,4-dichlorophenol, 5-amino-2,4-

dichlorophenol, 3-amino-2-methylphenol, 3-amino-2-chloro-6-methylphenol, 3-aminophenol, 2-[(3-hydroxyphenyl)amino]acetamide, 5-[(2-hydroxyethyl)amino]-2-methylphenol, 3-[(2-hydroxyethyl)amino]phenol, 3-[(2-methoxyethyl)amino]phenol, 5-amino-2-ethylphenol, 2-(4-amino-2-hydroxyphenoxy)ethanol, 5-[(3-hydroxypropyl)amino]-2-methylphenol, 3-[(2,3-dihydroxypropyl)-amino]-2-methylphenol, 3-[(2-hydroxyethyl)amino]-2-methylphenol, 2-amino-3-hydroxypyridine, 5-amino-4-chloro-2-methylphenol, 1-naphthol, 1,5-dihydroxynaphthalene, 1,7-dihydroxynaphthalene, 2,3-dihydroxynaphthalene, 2,7-dihydroxynaphthalene, 2-methyl-1-naphthol acetate, 1,3-dihydroxybenzene, 1-chloro-2,4-dihydroxybenzene, 2-chloro-1,3-dihydroxybenzene, 1,2-dichloro-3,5-dihydroxy-4-methylbenzene, 1,5-dichloro-2,4-dihydroxybenzene, 1,3-dihydroxy-2-methylbenzene, 3,4-methylenedioxyphenol, 3,4-methylenedioxyaniline, 5-[(2-hydroxyethyl)amino]-1,3-benzodioxol, 6-bromo-1-hydroxy-3,4-methylenedioxybenzene, 3,4-diaminobenzoic acid, 3,4-dihydro-6-hydroxy-1,4(2H)-benzoxazine, 6-amino-3,4-dihydro-1,4(2H)benzoxazine, 3-methyl-1-phenyl-5-pyrazolone, 5,6-dihydroxyindole, 5,6-dihydroxyindoline, 5-hydroxyindole, 6-hydroxyindole, 7-hydroxyindole and 2,3-indolinedione.

8. Preparation according to Claim 5, characterized in that it contains the developers and couplers in a total amount of 0.005 to 20 wt.%, based on the total amount of colorant.

9. Preparation according to Claim 5, characterized in that it contains additionally at least one direct dye.

10. Preparation according to Claim 5, characterized in that it is a hair colorant.